



STIC Search Report

Biotech-Chem Library

STIC Database Tracking Number: 122364

TO: Shailendra Kumar
Location: 5d61 / 5c18
Friday, May 21, 2004
Art Unit: 1621
Phone: 272-0640
Serial Number: 10 / 613841

From: Jan Delaval
Location: Biotech-Chem Library
Rem 1A51
Phone: 272-2504
jan.delaval@uspto.gov

Search Notes

122364 SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: S. Kumar Examiner #: 69594 Date: 5/18/04
 Art Unit: 1621 Phone Number 301-272-0640 Serial Number: 101612841
 Mail Box and Bldg/Room Location: RFN 5041 Results Format Preferred (circle): PAPER DISK E-MAIL
5018

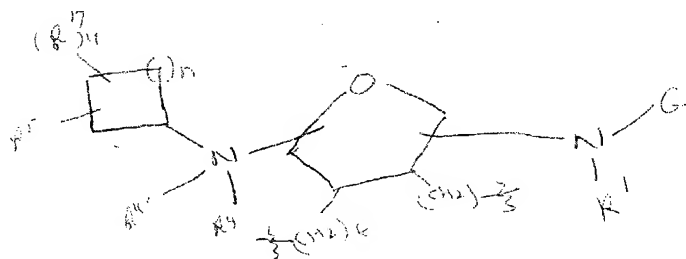
If more than one search is submitted, please prioritize searches in order of need.

 Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: Benzocycloalkyl Amines as modulators of chemokine Receptor
 Inventors (please provide full names): Dean Wacker et al

Earliest Priority Filing Date: 10/15/1999

For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.



G is $C(=O)R^3$, $CONR^2R^3$, $-C(=O)OR^3$, $SO_2NR^2R^3$, SO_2R^3 ,
 $C(=S)NR^2R^3$, $C(=NR^2R^3)R^3$, $C(=N(R^2)NR^2R^3)$

Species: Example 14 attached. Also see Table I,
pages 61-62.

A & G are elected as marked in the claim.

STAFF USE ONLY

Searcher: [Signature]
 Searcher Phone #: 27504
 Searcher Location: _____
 Date Searcher Picked Up: 5/21
 Date Completed: 5/21
 Searcher Prep & Review Time: _____
 Clerical Prep Time: 30
 Online Time: 1:41

Type of Search

NA Sequence (#) _____
 AA Sequence (#) _____
 Structure (#) ✓
 Bibliographic _____
 Litigation _____
 Fulltext _____
 Patent Family _____
 Other _____

Vendors and cost where applicable

STN ✓
 Dialog _____
 Questel/Orbit _____
 Dr.Link _____
 Lexis/Nexis _____
 Sequence Systems _____
 WWW/Internet _____
 Other (specify) _____

=> fil reg

FILE 'REGISTRY' ENTERED AT 10:07:34 ON 21 MAY 2004

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 20 MAY 2004 HIGHEST RN 684211-73-2

DICTIONARY FILE UPDATES: 20 MAY 2004 HIGHEST RN 684211-73-2

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

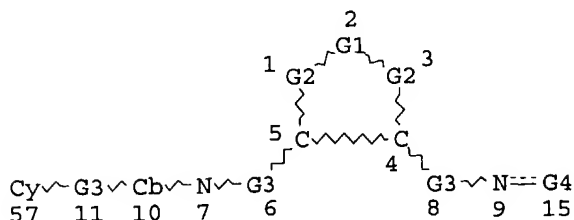
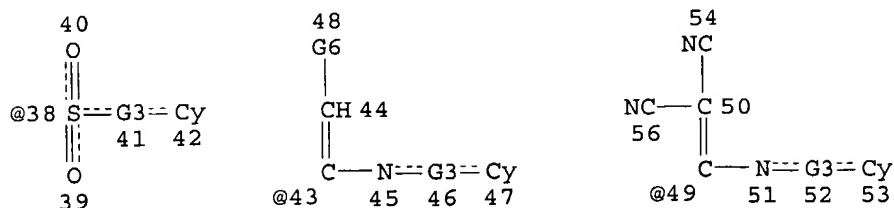
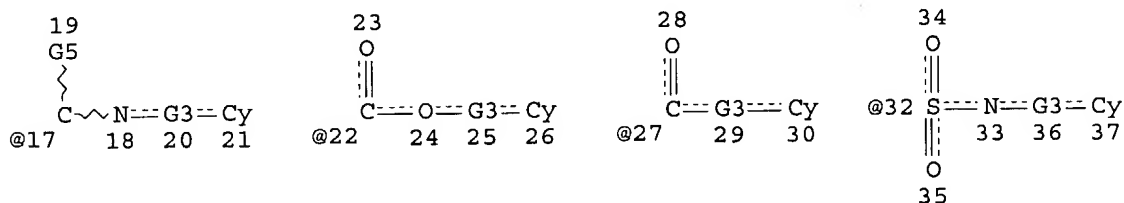
Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=> d sta que l14

L9 STR



VAR G1=O/S/N

REP G2=(1-2) C

REP G3=(0-5) C

VAR G4=17/22/27/32/38/43/49

VAR G5=N/S/O

VAR G6=NO2/CN

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

GGCAT IS MCY AT 10

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC 5

NUMBER OF NODES IS 51

STEREO ATTRIBUTES: NONE

L12 410223 SEA FILE=REGISTRY ABB=ON PLU=ON (16.138.1 OR 46.157.1 OR
110.35.1 OR 16.145.1 OR 46.160.1 OR 110.37.1 OR 16.136.1 OR
46.146.1 OR 119.34.1)/RID AND (C3 OR C4 OR C5 OR C6 OR C7 OR
C8)/ES AND NR>=4 AND N>=2

L14 2 SEA FILE=REGISTRY SUB=L12 SSS FUL L9

100.0% PROCESSED 391647 ITERATIONS

2 ANSWERS

SEARCH TIME: 00.00.14

=> d ide can tot l14

L14 ANSWER 1 OF 2 REGISTRY COPYRIGHT 2004 ACS on STN

RN 335388-52-8 REGISTRY

CN Urea, N-(3-acetylphenyl)-N'-[(3S,4S)-4-[[4-[(4-fluorophenyl)methyl]cyclohexyl]amino]tetrahydro-3-furanyl]- (9CI) (CA
INDEX NAME)

FS STEREOSEARCH

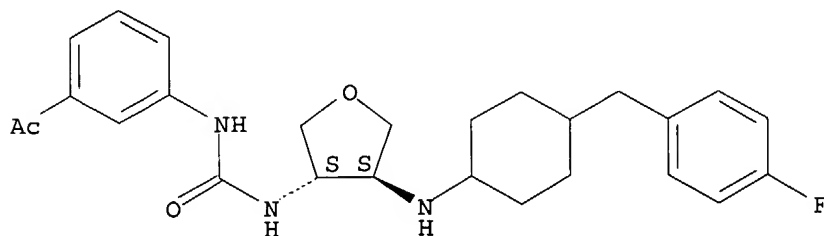
MF C26 H32 F N3 O3

CI COM

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:310925

L14 ANSWER 2 OF 2 REGISTRY COPYRIGHT 2004 ACS on STN

RN 335388-51-7 REGISTRY

CN Urea, N-(3-acetylphenyl)-N'-[(3S,4S)-4-[[4-[(4-fluorophenyl)methyl]cyclohexyl]amino]tetrahydro-3-furanyl]-,
monohydrochloride (9CI) (CA INDEX NAME)

FS STEREOSEARCH

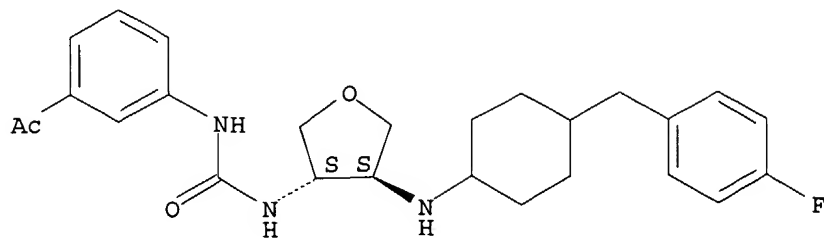
MF C26 H32 F N3 O3 . Cl H

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

CRN (335388-52-8)

Absolute stereochemistry.



● HCl

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:310925

=> fil uspatall

FILE 'USPATFULL' ENTERED AT 10:08:10 ON 21 MAY 2004

CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 10:08:10 ON 21 MAY 2004

CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

=>

=> d 143 bib abs hitstr tot

L43 ANSWER 1 OF 2 USPATFULL on STN

AN 2004:19454 USPATFULL

TI Benzylcycloalkyl amines as modulators of chemokine receptor activity

IN Wacker, Dean A., Chadds Ford, PA, UNITED STATES

Duncia, John V., Hockessin, DE, UNITED STATES

Santella, Joseph B., III, Springfield, PA, UNITED STATES

Gardner, Daniel S., Wilmington, DE, UNITED STATES

PI US 2004014758 A1 20040122

AI US 2003-613841 A1 20030703 (10)

RLI Division of Ser. No. US 2000-687192, filed on 13 Oct 2000, GRANTED, Pat.

No. US 6608227

PRAI US 1999-159899P 19991015 (60)

DT Utility

FS APPLICATION

LREP STEPHEN B. DAVIS, BRISTOL-MYERS SQUIBB COMPANY, PATENT DEPARTMENT, P O
BOX 4000, PRINCETON, NJ, 08543-4000

CLMN Number of Claims: 27

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 3473

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present application describes modulators of CCR3 of formula (I):
##STR1##

or pharmaceutically acceptable salt forms thereof, useful for the
prevention of inflammatory diseases such as asthma and other allergic
diseases.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

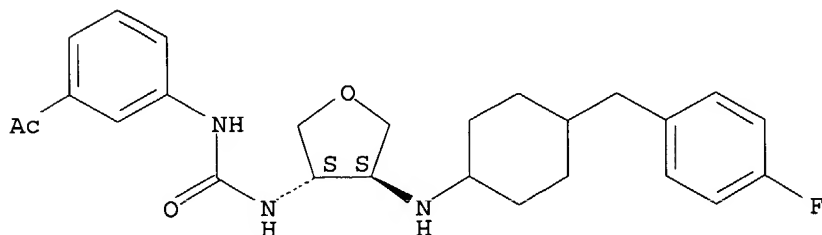
IT 335388-52-8P

(preparation of benzylcycloalkyl amines for pharmaceutical use as modulators
of chemokine receptor activity)

RN 335388-52-8 USPTAFULL

CN Urea, N-(3-acetylphenyl)-N'-[(3S,4S)-4-[[4-[(4-fluorophenyl)methyl]cyclohexyl]amino]tetrahydro-3-furanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



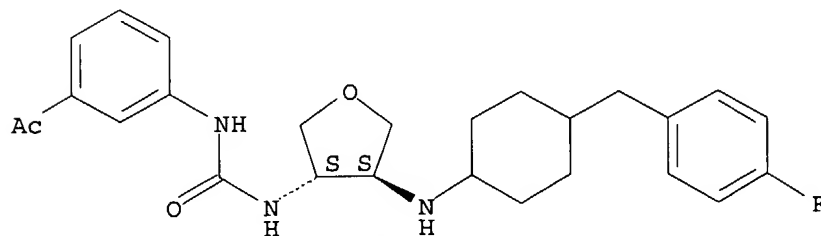
IT 335388-51-7P

(preparation of benzylcycloalkyl amines for pharmaceutical use as modulators of chemokine receptor activity)

RN 335388-51-7 USPTAFULL

CN Urea, N-(3-acetylphenyl)-N'-[(3S,4S)-4-[[4-[(4-fluorophenyl)methyl]cyclohexyl]amino]tetrahydro-3-furanyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L43 ANSWER 2 OF 2 USPTAFULL on STN

AN 2003:222192 USPTAFULL

TI Benzylcycloalkyl amines as modulators of chemokine receptor activity

IN Wacker, Dean A, Chadds Ford, PA, United States

Duncia, John V, Hockessin, DE, United States

Santella, III, Joseph B, Springfield, PA, United States

Gardner, Daniel S, Wilmington, DE, United States

PA Bristol-Myers Squibb Pharma, Princeton, NJ, United States (U.S. corporation)

PI US 6608227 B1 20030819

AI US 2000-687192 20001013 (9)

PRAI US 1999-159899P 19991015 (60)

DT Utility

FS GRANTED

EXNAM Primary Examiner: Kumar, Shailendra

CLMN Number of Claims: 37

ECL Exemplary Claim: 1

DRWN 0 Drawing Figure(s); 0 Drawing Page(s)

LN.CNT 3006

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present application describes modulators of CCR3 of formula (I):
##STR1##

or pharmaceutically acceptable salt forms thereof, useful for the prevention of inflammatory diseases such as asthma and other allergic diseases.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

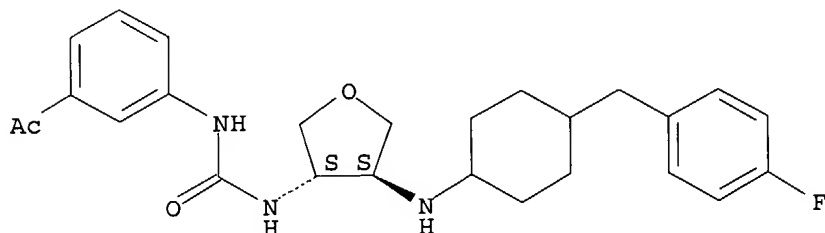
IT 335388-52-8P

(preparation of benzylcycloalkyl amines for pharmaceutical use as modulators of chemokine receptor activity)

RN 335388-52-8 USPATFULL

CN Urea, N-(3-acetylphenyl)-N'-[(3S,4S)-4-[[4-[(4-fluorophenyl)methyl]cyclohexyl]amino]tetrahydro-3-furanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



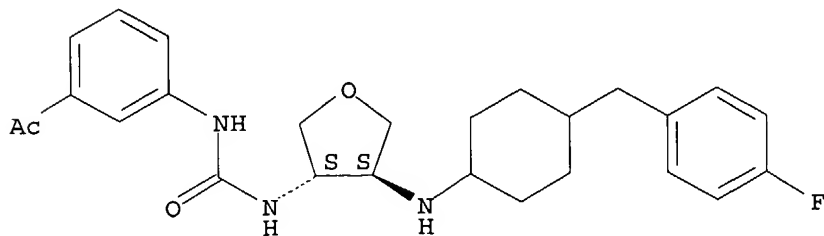
IT 335388-51-7P

(preparation of benzylcycloalkyl amines for pharmaceutical use as modulators of chemokine receptor activity)

RN 335388-51-7 USPATFULL

CN Urea, N-(3-acetylphenyl)-N'-[(3S,4S)-4-[[4-[(4-fluorophenyl)methyl]cyclohexyl]amino]tetrahydro-3-furanyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

=> fil hcaplus

FILE 'HCAPLUS' ENTERED AT 10:08:55 ON 21 MAY 2004

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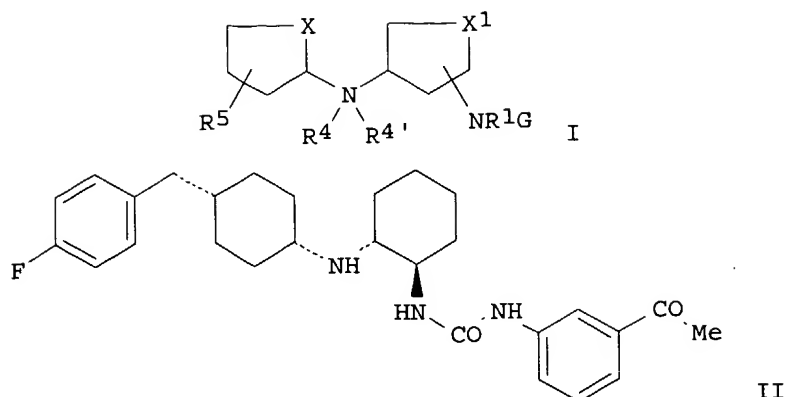
FILE COVERS 1907 - 21 May 2004 VOL 140 ISS 22
FILE LAST UPDATED: 20 May 2004 (20040520/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d all hitstr l22

L22 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:300670 HCAPLUS
DN 134:310925
ED Entered STN: 27 Apr 2001
TI Preparation of benzylcycloalkyl amines for pharmaceutical use as modulators of chemokine receptor activity
IN **Wacker, Dean A.**
PA Du Pont Pharmaceuticals Company, USA
SO PCT Int. Appl., 143 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07C275-38
ICS C07C275-42; A61K031-17; A61K031-277; A61K031-40; A61K031-34; A61P029-00; C07D307-22; C07D207-09; C07D207-14
CC 24-5 (Alicyclic Compounds)
Section cross-reference(s): 1, 25
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 2001028987	A1	20010426	WO 2000-US28353	20001013	<--
	W: AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA					
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
	EP 1220836	A2	20020710	EP 2000-973511	20001013	<--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY					
	JP 2003512349	T2	20030402	JP 2001-531788	20001013	<--
	US 6608227	B1	20030819	US 2000-687192	20001013	<--
	US 2004014758	A1	20040122	US 2003-613841	20030703	<--
PRAI	US 1999-159899P	P	19991015			<--
	US 2000-687192	A3	20001013			
	WO 2000-US28353	W	20001013			<--
OS	MARPAT 134:310925					
GI						



- AB Benzylcycloalkyl amines, such as I [R1, R6 = H, alkyl, alkenyl, alkynyl, cycloalkyl, etc.; R4 = H, alkyl, alkenyl, alkynyl, cycloalkyl, R4' = H; R4R4' = O, alkylene; R5 = benzyl; G = acyl, aminocarbonyl, aminosulfonyl, amidino, etc.; X, X1 = O, S, CH2, (CH2)2, NR6, etc.], were prepared as chemokine receptor CCR-3 modulators for pharmaceutical use in the prevention of inflammatory diseases such as asthma and other allergic diseases. Thus, benzylcycloalkyl amine II was prepared via a multistep synthetic sequence starting from F-4-C6H4CH2P+Ph3Cl-, 1,4-cyclohexanedione, (1R,2R)-1,2-cyclohexanediamine, and MeCO-3-C6H4NCO. The prepared benzylcycloalkyl amines were tested for chemokine receptor CCR3 binding activity.
- ST benzylcycloalkyl amine prepn chemokine receptor modulator;
antiinflammatory chemokine receptor modulator benzylcycloalkylamine prepn;
antiasthmatic chemokine receptor modulator benzylcycloalkylamine prepn;
allergy inhibitor chemokine receptor modulator benzylcycloalkylamine prepn
- IT Allergy inhibitors
Anti-inflammatory agents
Antiasthmatics
(preparation of benzylcycloalkyl amines for pharmaceutical use as modulators of chemokine receptor activity)
- IT Chemokine receptors
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(β chemokine receptor CCR3; preparation of benzylcycloalkyl amines for pharmaceutical use as modulators of chemokine receptor activity)
- IT 335276-64-7P 335276-65-8P **335388-52-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of benzylcycloalkyl amines for pharmaceutical use as modulators of chemokine receptor activity)
- IT 335276-22-7P 335276-23-8P 335276-25-0P 335276-27-2P 335276-29-4P
335276-30-7P 335276-31-8P 335276-32-9P 335276-33-0P 335276-34-1P
335276-35-2P 335276-36-3P 335276-37-4P 335276-38-5P 335276-39-6P
335276-40-9P 335276-41-0P 335276-42-1P 335276-43-2P 335276-44-3P
335276-45-4P 335276-47-6P 335276-49-8P 335276-51-2P
335388-51-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzylcycloalkyl amines for pharmaceutical use as modulators of chemokine receptor activity)
- IT 3462-95-1 4746-97-8, 1,4-Dioxaspiro[4.5]decan-8-one 20439-47-8

23138-64-9 23356-96-9 45434-73-9 68832-13-3 98369-85-8
122536-76-9 122536-77-0

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of benzylcycloalkyl amines for pharmaceutical use as modulators of chemokine receptor activity)

IT 335276-52-3P 335276-53-4P 335276-54-5P 335276-55-6P 335276-56-7P
335276-57-8P 335276-58-9P 335276-59-0P 335276-60-3P 335276-61-4P
335276-62-5P 335276-63-6P 335388-53-9P 335388-54-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzylcycloalkyl amines for pharmaceutical use as modulators of chemokine receptor activity)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

(1) Du Pont Pharmaceuticals; WO 0035454 A 2000 HCAPLUS

(2) Merck; WO 9825604 A 1998 HCAPLUS

(3) The Government Of The United States Of America; WO 9927939 A 1999 HCAPLUS

IT 335388-52-8P

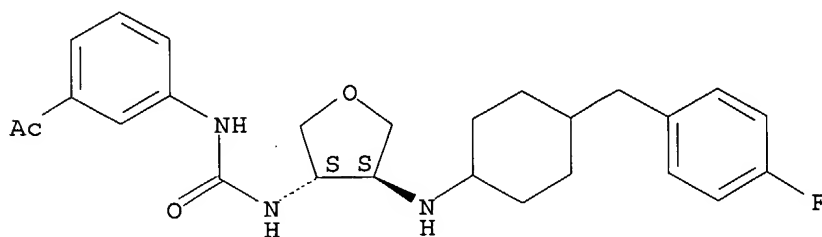
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(preparation of benzylcycloalkyl amines for pharmaceutical use as modulators of chemokine receptor activity)

RN 335388-52-8 HCAPLUS

CN Urea, N-(3-acetylphenyl)-N'-[(3S,4S)-4-[[4-[(4-fluorophenyl)methyl]cyclohexyl]amino]tetrahydro-3-furanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 335388-51-7P

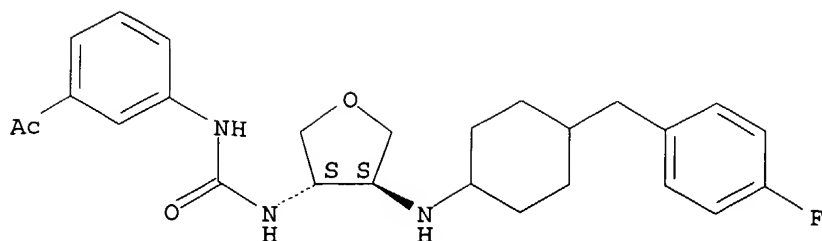
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzylcycloalkyl amines for pharmaceutical use as modulators of chemokine receptor activity)

RN 335388-51-7 HCAPLUS

CN Urea, N-(3-acetylphenyl)-N'-[(3S,4S)-4-[[4-[(4-fluorophenyl)methyl]cyclohexyl]amino]tetrahydro-3-furanyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

=> d his

(FILE 'REGISTRY' ENTERED AT 09:29:51 ON 21 MAY 2004)

DEL HIS

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 L2 67184 S L1 AND N>=2
 L3 STR
 L4 0 S L3 SAM SUB=L2
 L5 0 S L3 SAM SUB=L1
 L6 STR L3
 L7 1097375 S (OC4 OR OC5 OR OC6 OR SC4 OR SC5 OR SC6 OR NC4 OR NC5 OR NC6)
 L8 0 S L6 SAM SUB=L7
 L9 STR L6
 L10 0 S L9 SAM SUB=L7
 L11 0 S L9 SAM SUB=L1
 L12 410223 S (16.138.1 OR 46.157.1 OR 110.35.1 OR 16.145.1 OR 46.160.1 OR
 L13 0 S L9 SAM SUB=L12
 L14 2 S L9 FUL SUB=L12
 SAV L14 KUMAR613/A

FILE 'HCAOLD' ENTERED AT 09:57:29 ON 21 MAY 2004

L15 0 S L14

FILE 'HCAPLUS' ENTERED AT 09:57:37 ON 21 MAY 2004

L16 1 S L14
 L17 1 S (US20040014758 OR US6608227)/PN OR (WO2000-US28353 OR US99-15
 E WACKER D/AU
 L18 32 S E3-E6
 E DUNCIA J/AU
 L19 89 S E4-E10
 E SANTELLA J/AU
 L20 27 S E4-E7
 E GARDNER D/AU
 L21 167 S E3,E20,E27,E31,E32
 L22 1 S L16,L17 AND L18-L21
 L23 268 S L16-L21 NOT L22
 L24 14 S L18 AND L19-L21
 L25 26 S L19 AND L20,L21
 L26 6 S L20 AND L21
 L27 30 S L24-L26 NOT L22
 L28 238 S L23 NOT L27

FILE 'REGISTRY' ENTERED AT 10:02:57 ON 21 MAY 2004

FILE 'HCAPLUS' ENTERED AT 10:02:58 ON 21 MAY 2004

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SEL L22 1- RN : 52 TERMS
SET SMARTSELECT OFF

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52 S L29

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2463 S L31

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SET SMARTSELECT OFF

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L35 4347 S L32,L34
L36 10 S L7 AND L30
L37 8 S L36 NOT L14
L38 1448 S L35 AND L7 NOT L36
L39 454 S L38 AND L1
L40 1 S L39 AND (OC4 OR OC5 OR OC6)/ES
L41 1 S L39 AND (SC4 OR SC5 OR SC6)/ES
L42 447 S L39 AND (NC4 OR NC5 OR NC6)/ES AND N>=3

L43 FILE 'USPATFULL, USPAT2' ENTERED AT 10:07:22 ON 21 MAY 2004
2 S L14

FILE 'REGISTRY' ENTERED AT 10:07:34 ON 21 MAY 2004

FILE 'USPATFULL, USPAT2' ENTERED AT 10:08:10 ON 21 MAY 2004

FILE 'HCAPLUS' ENTERED AT 10:08:55 ON 21 MAY 2004

=>